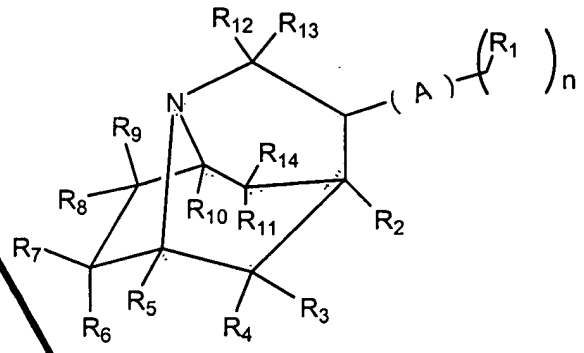
Claims

We claim:

1. A composition of formula **(I):**



(I)

wherein,

A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R_1 independently comprises a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_2-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

2. The composition of claim 1, wherein one occurrence of R_1 comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl; A is a double bond; n = 2; at least one occurrence

of R_1 is hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; R_2-R_{13} each independently comprise hydrogen or alkyl; and R_{14} comprises an ester moiety.

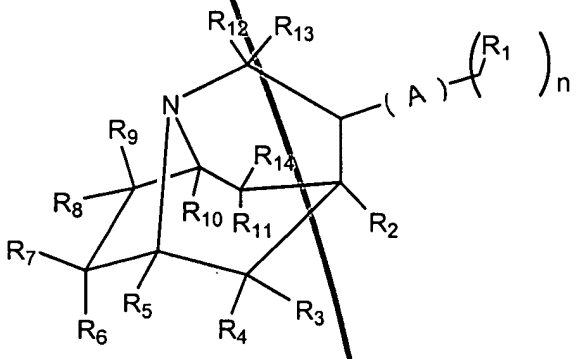
3. The composition of claim 1, wherein one occurrence of R_1 comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either one or two occurrences of R_1 comprise hydrogen.

4. The composition of claim 1, wherein A is a double bond; n = 2; and one occurrence of R_1 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-napthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl, and the second occurrence of R_1 is hydrogen, whereby an E (entgegen) isomer is generated.

5. A selective norepinephrine and serotonin reuptake inhibitor (SSNRI) having the formula **(I)**, wherein one occurrence of R_1 comprises 4-methoxy-phenyl, one occurrence of R_1 comprises hydrogen; R_2-R_{13} each comprise hydrogen; and R_{14} comprises an ester moiety.

6. A selective norephinephrine reuptake inhibitor (SNRI) having the formula **(I)**, wherein one occurrence of R_1 comprises phenyl, one occurrence of R_1 comprises hydrogen, R_2-R_{13} each comprise hydrogen, and R_{14} comprises an ester moiety.

7. A pharmaceutical composition comprising a compound of formula **(I)**:



(I)

wherein,

A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R_1 independently comprises a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_2-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

A1 cont

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit, polymer and biomolecule, or a pharmaceutically acceptable salt thereof; and

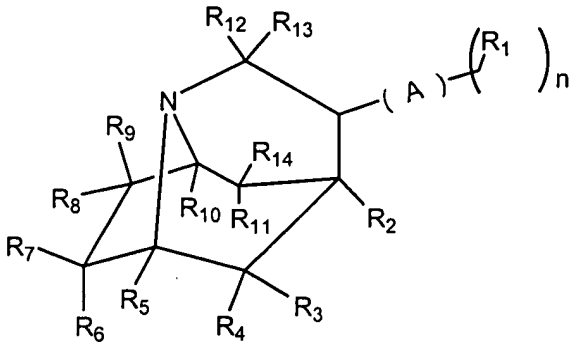
a pharmaceutically acceptable carrier.

8. The pharmaceutical composition of claim 7, wherein one occurrence of R_1 comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl; A is a double bond; n = 2; at least one occurrence of R_1 is hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; and R_2-R_{13} each independently comprise hydrogen or alkyl; and R_{14} comprises an ester moiety.

9. The pharmaceutical composition of claim 7, wherein one occurrence of R_1 comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either one or two occurrences of R_1 comprise hydrogen.

10. The pharmaceutical composition of claim 7, wherein A is a double bond; n = 2; and one occurrence of R_1 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-napthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl, and the second occurrence of R_1 is hydrogen, whereby an E (entgegen) isomer is generated.

11. A method for treating disorders caused by a deficiency in monoamine concentration in a human by administering a pharmaceutically effective dose of a compound of formula **(I)**:



(I)

wherein,

A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R_1 independently comprises a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_2-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

12. The method of claim 11, wherein one occurrence of R_1 comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl; A is a double bond; n = 2; at least one occurrence of R_1 is hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; and R_2-R_{13} each independently comprise hydrogen or alkyl; and R_{14} comprises an ester moiety.

13. The method of claim 11, wherein one occurrence of R_1 comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either one or two occurrences of R_1 comprise hydrogen.

14. The method of claim 11, wherein A is a double bond; n = 2; and one occurrence of R_1 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-napthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl, and the second occurrence of R_1 is hydrogen, whereby an E (entgegen) isomer is generated.

A1 Cont

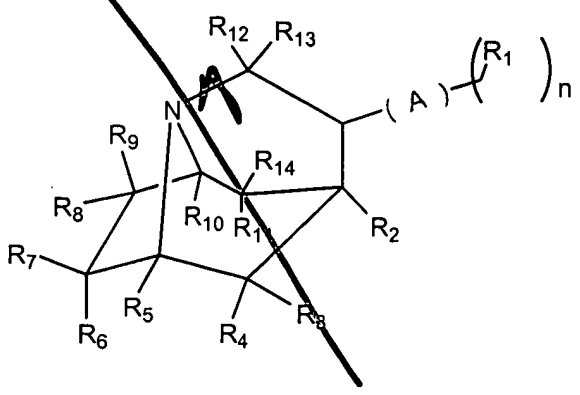
15. The method of claim 11 wherein said disease or condition in a mammal comprises a disease or condition in a mammal in which the activity of serotonin or norepinephrine is implicated and modulation of serotonin activity or serotonin or norepinephrine reuptake is desired.

16. The method of claim 11, wherein said disease or condition in a mammal is selected from the group consisting of depression, substance addiction, neurodegenerative disease, Attention Deficit Disorder, Huntingtons's Disease, bipolar disorder and other psychiatric or clinical disfunctions.

17. The method of claim 16, wherein said neurodegenerative disease is Parkinson's Disease or Alzheimer's Disease.

18. The method of claim 16, wherein said substance addiction comprises cocaine addiction.

19. A radiolabeled compound comprising a radionuclide and a compound of formula **(I)**:



(I)

wherein,

A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R_1 independently comprises a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_2-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

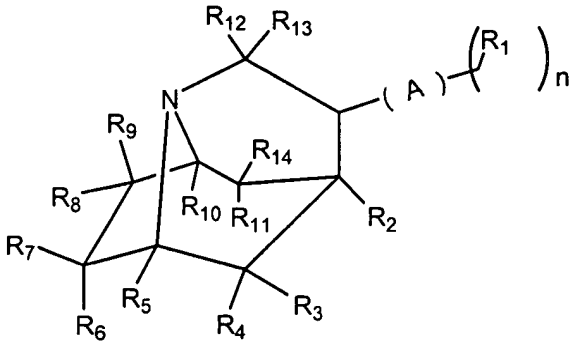
R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

20. The radiolabeled compound of claim 19, wherein one occurrence of R_1 comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl; A is a double bond; n = 2; at least one occurrence of R_1 is hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; and R_2-R_{13} each independently comprise hydrogen or alkyl; and R_{14} comprises an ester moiety.

21. The radiolabeled compound of claim 19, wherein one occurrence of R_1 comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either one or two occurrences of R_1 comprise hydrogen.

22. The radiolabeled compound of claim 19, wherein A is a double bond; n = 2; and one occurrence of R_1 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-napthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl, and the second occurrence of R_1 is hydrogen, whereby an E (entgegen) isomer is generated.

23. A method comprising imaging the brain of a mammal by administering a radiolabeled compound comprising a radionuclide and a compound of formula **(I)**:



(I)

wherein,

A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R_1 independently comprises a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_2-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof; and

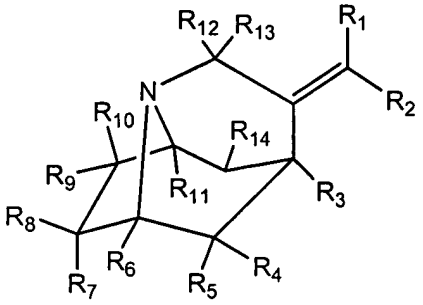
detecting the presence of the radiolabeled compound in the brain.

24. The method of claim 23, wherein one occurrence of R_1 comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl; A is a double bond; n = 2; at least one occurrence of R_1 is hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; and R_2-R_{13} each independently comprise hydrogen or alkyl; and R_{14} comprises an ester moiety.

25. The method of claim 23, wherein one occurrence of R_1 comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either one or two occurrences of R_1 comprise hydrogen.

26. The method of claim 23, wherein A is a double bond; n = 2; and one occurrence of R_1 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-napthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl, and the second occurrence of R_1 is hydrogen, whereby an E (entgegen) isomer is generated.

27. A composition of formula **(II):**



(II)

wherein,

R_1 and R_2 each independently comprise a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_3-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

28. The composition of claim 27, wherein either R_1 or R_2 comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl, and either R_1 or R_2 comprises hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; R_3-R_{13} each independently comprise hydrogen or alkyl; and R_{14} comprises an ester moiety.

29. The composition of claim 27, wherein either R_1 or R_2 comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either R_1 or R_2 comprises hydrogen.

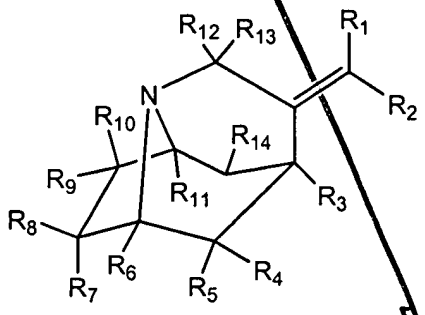
A2 cont

30. The composition of claim 27, wherein R_1 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-napthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl; and R_2 is hydrogen, whereby an E (entgegen) isomer is generated.

31. A selective norephinephrine and serotonin reuptake inhibitor (SSNRI) having the formula **(II),** wherein R_1 comprises 4-methoxy-phenyl, R_2 comprises hydrogen, R_3-R_{13} each comprise hydrogen, and R_{14} comprises an ester moiety.

32. A selective norephinephrine reuptake inhibitor (SNRI) having the formula **(II),** wherein R_1 comprises phenyl, R_2 comprises hydrogen, R_3-R_{13} each comprise hydrogen, and R_{14} comprises an ester moiety.

33. A pharmaceutical composition comprising a compound of formula **(II):**



(II)

wherein,

R_1 and R_2 each independently comprise a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_3-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

A2 Cont

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof; and

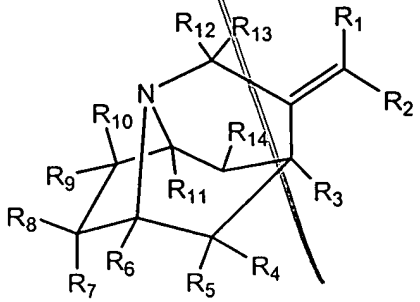
a pharmaceutically acceptable carrier.

34. The pharmaceutical composition of claim 33, wherein either R_1 or R_2 comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl, and either R_1 or R_2 comprises hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; R_3-R_{13} each independently comprise hydrogen or alkyl; and R_{14} comprises an ester moiety.

35. The pharmaceutical composition of claim 33, wherein either R_1 or R_2 comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either R_1 or R_2 comprises hydrogen.

36. The pharmaceutical composition of claim 33, wherein R_1 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-napthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl; and R_2 is hydrogen, whereby an E (entgegen) isomer is generated.

37. A method for treating disorders caused by a deficiency in monoamine concentration in a human by administering a pharmaceutically effective dose of a compound of formula **(II)**:



(II)

wherein,

R_1 and R_2 each independently comprise a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_3-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

A2 cont

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

38. The method of claim 37, wherein either R_1 or R_2 comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl, and either R_1 or R_2 comprises hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; R_3-R_{13} each independently comprise hydrogen or alkyl; and R_{14} comprises an ester moiety.

39. The method of claim 37, wherein either R_1 or R_2 comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either R_1 or R_2 comprises hydrogen.

40. The method of claim 37, wherein R_1 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-napthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl; and R_2 is hydrogen, whereby an E (entgegen) isomer is generated.

41. The method of claim 37 wherein said disease or condition in a mammal comprises a disease or condition in a mammal in which the activity of serotonin or norepinephrine is implicated and modulation of serotonin activity or serotonin or norepinephrine reuptake is desired.

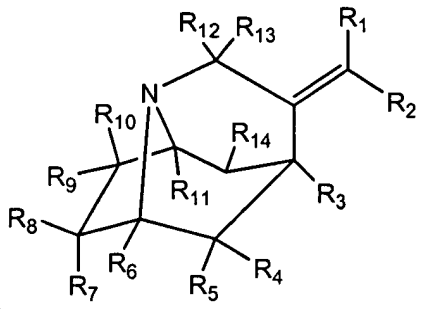
42. The method of claim 37, wherein said disease or condition in a mammal is selected from the group consisting of depression, substance addiction, neurodegenerative disease, Attention Deficit Disorder, Huntingtons's Disease, bipolar disorder and other psychiatric or clinical disfunctions.

A2 Cont

43. The method of claim 42, wherein said neurodegenerative disease is Parkinson's Disease or Alzheimer's Disease.

44. The method of claim 42, wherein said substance addiction comprises cocaine addiction.

45. A radiolabeled compound comprising a radionuclide and a compound of formula (II):



(II)

wherein,

R_1 and R_2 each independently comprise a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_3-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl;

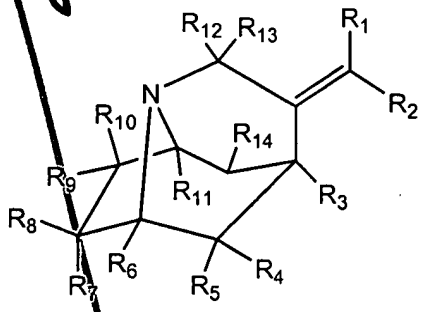
silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

46. The radiolabeled compound of claim 45, wherein either R_1 or R_2 comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl, and either R_1 or R_2 comprises hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; R_3-R_{13} each independently comprise hydrogen or alkyl; and R_{14} comprises an ester moiety.

47. The radiolabeled compound of claim 45, wherein either R_1 or R_2 comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either R_1 or R_2 comprises hydrogen.

48. The radiolabeled compound of claim 45, wherein R_1 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-napthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl; and R_2 is hydrogen, whereby an E (entgegen) isomer is generated.

49. A method comprising imaging the brain of a mammal by administering a radiolabeled compound comprising a radionuclide and a compound of formula **(II)**:



(II)

wherein,

R_1 and R_2 each independently comprise a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_3-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino,

amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof; and

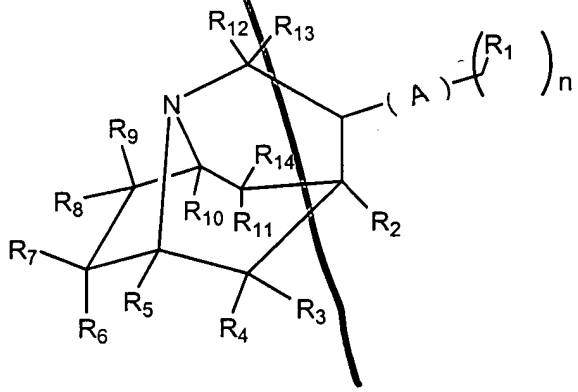
detecting the presence of the radiolabeled compound in the brain.

50. The method of claim 49, wherein either R_1 or R_2 comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl, and either R_1 or R_2 comprises hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; R_3-R_{13} each independently comprise hydrogen or alkyl; and R_{14} comprises an ester moiety.

51. The method of claim 49, wherein either R_1 or R_2 comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either R_1 or R_2 comprises hydrogen.

52. The method of claim 49, wherein R_1 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-napthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl; and R_2 is hydrogen, whereby an E (entgegen) isomer is generated.

53. A method for inhibiting the reuptake of a monoamine transporter comprising contacting a monoamine transporter with a compound having the formula **(I)**:



(I)

wherein,

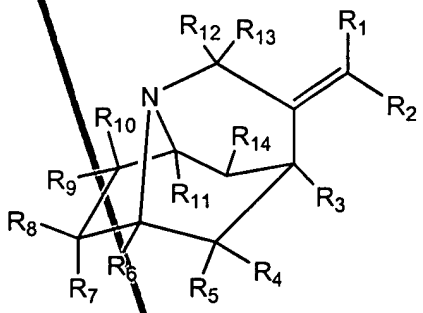
A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R_1 independently comprises a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_2-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

54. The method of claim 53, wherein said monoamine transporter comprises serotonin transporter, dopamine transporter, and norepinephrine transporter.

55. A method for inhibiting the reuptake of a monoamine transporter comprising contacting a monoamine transporter with a compound having the formula **(II)**:



(II)

wherein,

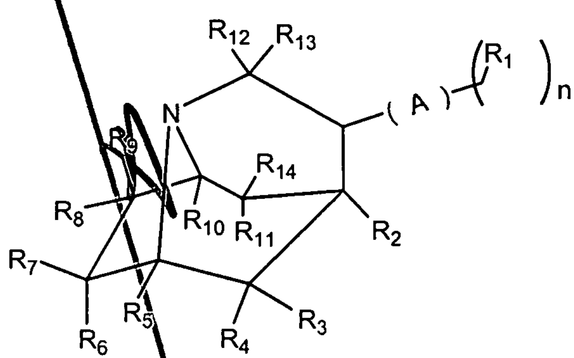
R_1 and R_2 each independently comprise a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_3-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

56. The method of claim 55, wherein said monoamine transporter comprises serotonin transporter, dopamine transporter, and norepinephrine transporter.

57. A library of compounds having the formula **(II)**:



(I)

wherein,

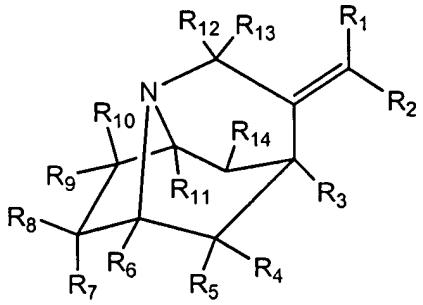
A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R_1 independently comprises a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_2-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino,

amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer and biomolecule;

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

58. A library of compounds having the formula **(II)**:



(II)

wherein,

R_1 and R_2 each independently comprise a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_3-R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R_{14} comprises a functionality selected from the group consisting of ester moiety, O-R_{15}, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

59. A method for detecting compounds capable of binding to monoamine transporters and inhibiting uptake of monoamines comprising:

(a) providing a monoamine transporter;

(b) contacting the library of compounds of claim 57 or 58 with said monoamine transporter; and

(c) detecting whether any compounds in said library of compounds is capable of binding to the monoamine transporter and inhibiting uptake of monoamines.